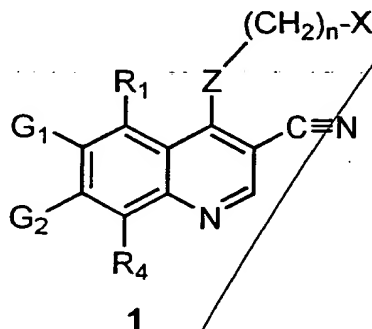


WHAT IS CLAIMED IS:

1. A compound of Formula 1 having the structure:



wherein:

X is cycloalkyl of 3 to 7 carbon atoms, which may be optionally substituted with one or more alkyl of 1 to 6 carbon atom groups; or is a pyridinyl, pyrimidinyl, or phenyl ring wherein the pyridinyl, pyrimidinyl, or phenyl ring may be optionally mono- di-, or tri-substituted with a substituent selected from the group consisting of halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, azido, hydroxyalkyl of 1-6 carbon atoms, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms, hydroxy, trifluoromethyl, cyano, nitro, carboxy, carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzoyl, benzyl, amino, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12 carbon atoms, phenylamino, benzylamino, alkanoylamino of 1-6 carbon atoms, alkenoylamino of 3-8 carbon atoms, alkynoylamino of 3-8 carbon atoms, carboxyalkyl of 2-7 carbon atoms, carboalkoxyalkyl of 3-8 carbon atoms, aminoalkyl of 1-5 carbon atoms, N-alkylaminoalkyl of 2-9 carbon atoms, N,N-dialkylaminoalkyl of 3-10 carbon atoms, N-alkylaminoalkoxy of 2-9 carbon atoms, N,N-dialkylaminoalkoxy of 3-10 carbon atoms, mercapto, and benzoylamino;

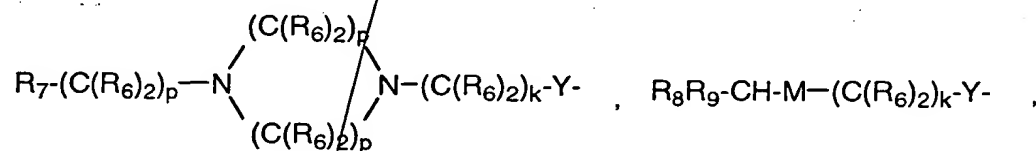
120

- 120 -

Z is -NH-, -O-, -S-, or -NR- ;

R is alkyl of 1-6 carbon atoms, or carboalkyl of 2-7 carbon atoms;

G₁, G₂, R₁, and R₄ are each, independently, hydrogen, halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, alkenyloxy of 2-6 carbon atoms, alkynyloxy of 2-6 carbon atoms, hydroxymethyl, halomethyl, alkanoyloxy of 1-6 carbon atoms, alkenoyloxy of 3-8 carbon atoms, alkynoyloxy of 3-8 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkenoyloxymethyl of 4-9 carbon atoms, alkynoyloxymethyl of 4-9 carbon atoms, alkoxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms, alkylsulphanyl of 1-6 carbon atoms, alkylsulphonyl of 1-6 carbon atoms, alkylsulfonamido of 1-6 carbon atoms, alkenylsulfonamido of 2-6 carbon atoms, alkynylsulfonamido of 2-6 carbon atoms, hydroxy, trifluoromethyl, trifluoromethoxy, cyano, nitro, carboxy, carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzyl, amino, hydroxyamino, alkoxyamino of 1-4 carbon atoms, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12 carbon atoms, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, phenylamino, benzylamino,

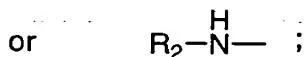
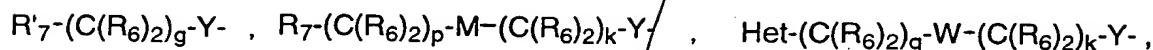
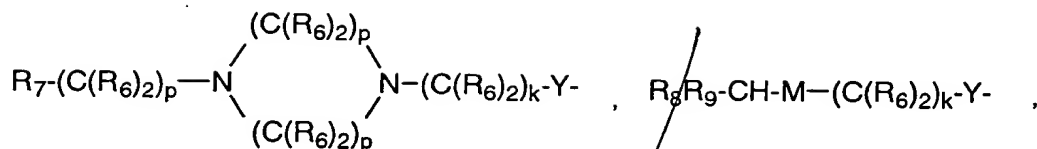


R₇-(C(R₆)₂)₉-Y- , R₇-(C(R₆)₂)_p-M-(C(R₆)₂)_k-Y- , or Het-(C(R₆)₂)_q-W-(C(R₆)₂)_k-Y-

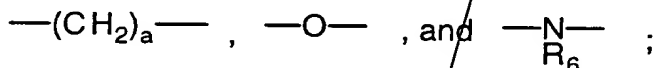
with the proviso that either G₁ or G₂ or both G₁ and G₂ must be a radical selected from the group

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- 121 -



Y is a divalent radical selected from the group consisting of



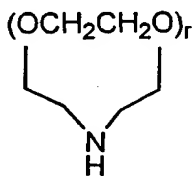
R₇ is -NR₆R₆, -J, -OR₆, -N(R₆)₃⁺, or -NR₆(OR₆);

R'₇ is -NR₆(OR₆), -N(R₆)₃⁺, alkenoxy of 1-6 carbon atoms, alkynoxy of 1-6 carbon atoms, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, N-alkyl-N-alkynylamino of 4 to 12 carbon atoms, N-alkenyl-N-alkynylamino of 4 to 12 carbon atoms, or N,N-dialkynylamino of 6-12 carbon atoms with the proviso that the alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom through a saturated carbon atom;

M is >NR₆, -O-, >N-(C(R₆)₂)_pNR₆R₆, or >N-(C(R₆)₂)_p-OR₆;

W is >NR₆, -O- or is a bond;

Het is a heterocycle selected from the group consisting of morpholine, thiomorpholine, thiomorpholine S-oxide, thiomorpholine S,S-dioxide, piperidine, pyrrolidine, aziridine, pyridine, imidazole, 1,2,3-triazole, 1,2,4-triazole, thiazole, thiazolidine, tetrazole, piperazine, furan, thiophene, tetrahydrothiophene, tetrahydrofuran, dioxane, 1,3-dioxolane,



tetrahydropyran, and

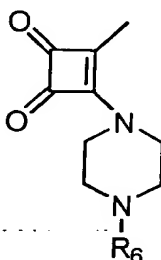
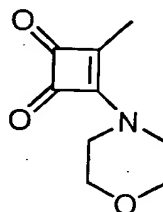
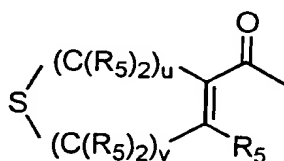
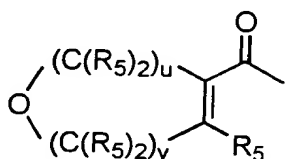
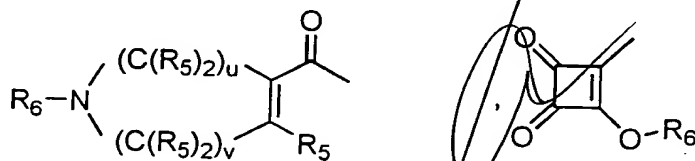
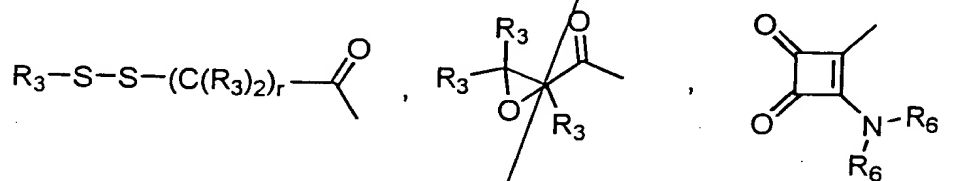
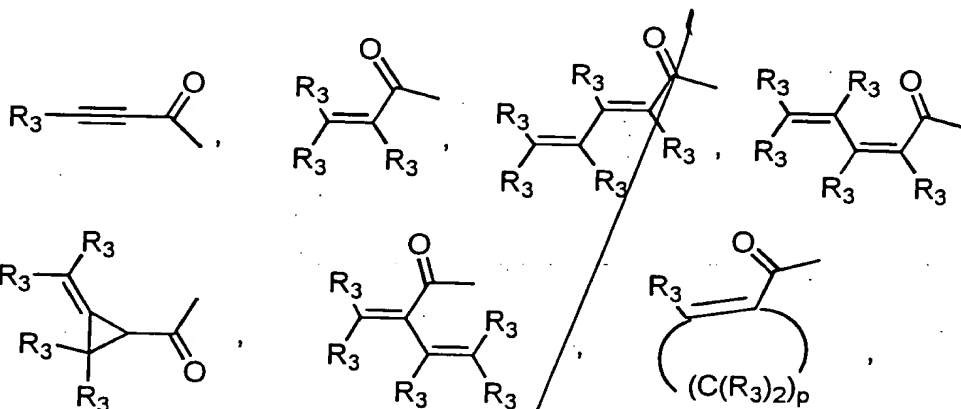
- 122 -

wherein the heterocycle is optionally mono- or di-substituted on carbon or nitrogen with R_6 , optionally mono- or di-substituted on carbon with hydroxy, $-N(R_6)_2$, or $-OR_6$, optionally mono or di-substituted on carbon with the mono-valent radicals $-(C(R_6)_2)_sOR_6$ or $-(C(R_6)_2)_sN(R_6)_2$, or optionally
5 mono or di-substituted on a saturated carbon with divalent radicals $-O-$ or $-O(C(R_6)_2)_sO-$;

R_6 is hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, cycloalkyl of 1-6 carbon atoms, carboalkyl of 2-7 carbon
10 atoms, carboxyalkyl (2-7 carbon atoms), phenyl, or phenyl optionally substituted with one or more halogen, alkoxy of 1-6 carbon atoms, trifluoromethyl, amino, alkylamino of 1-3 carbon atoms, dialkylamino of 2-6 carbon atoms, nitro, cyano, azido, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkylthio of 1-6 carbon
15 atoms, hydroxy, carboxyl, carboalkoxy of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzoyl, benzyl, phenylamino, benzylamino, alkanoylamino of 1-6 carbon atoms, or alkyl of 1-6 carbon atoms;

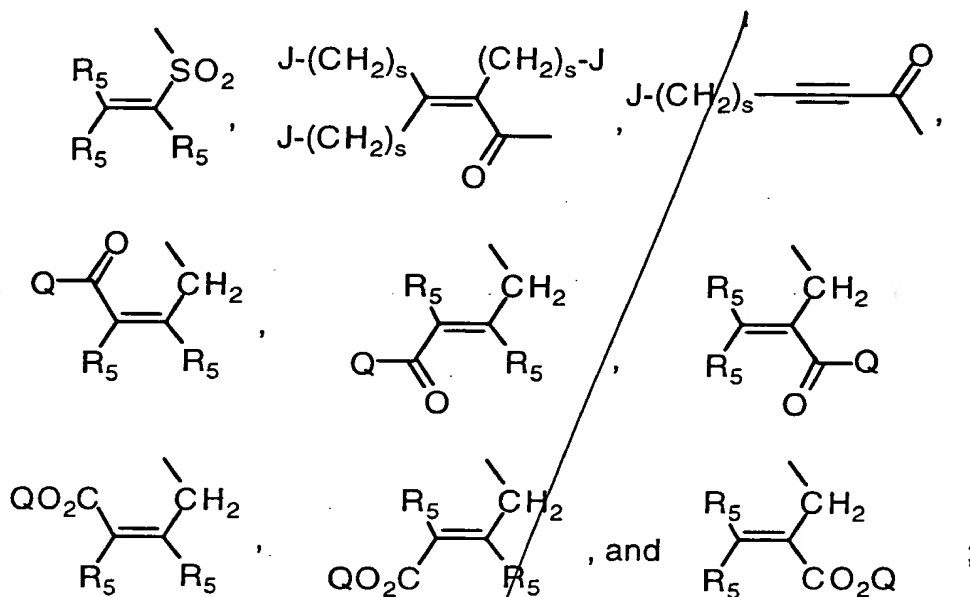
R_2 is selected from the group consisting of

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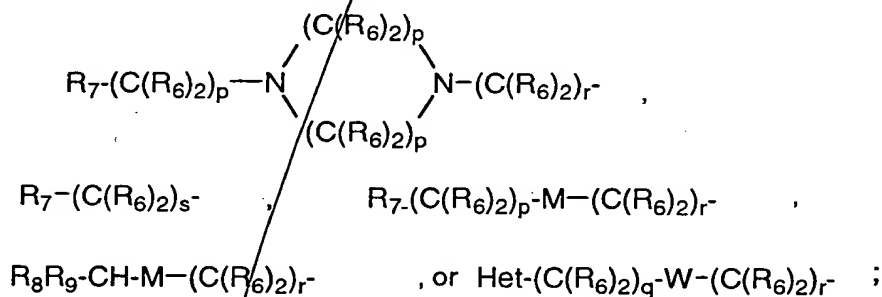


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- 124 -

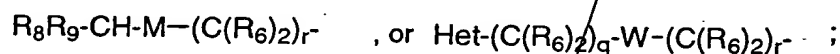
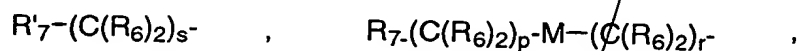
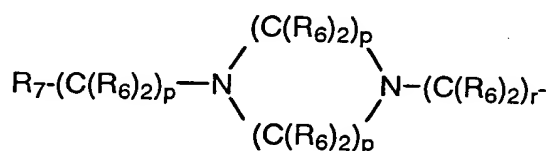


R₃ is independently hydrogen, alkyl of 1-6 carbon atoms, carboxy, carboalkoxy of 1-6 carbon atoms, phenyl, carboalkyl of 2-7 carbon atoms,



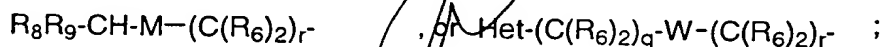
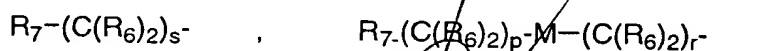
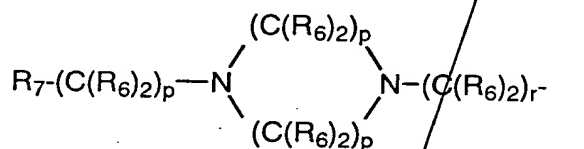
with the proviso that at least one of the R₃ groups is selected from the group

- 125 -



R₅ is independently hydrogen, alkyl of 1-6 carbon atoms, carboxy, carboalkoxy of 1-6 carbon atoms, phenyl, carboalkyl of 2-7 carbon atoms,

5



R₈, and R₉ are each, independently, -(C(R₆)₂)_rNR₆R₆, or -(C(R₆)₂)_rOR₆;

10

J is independently hydrogen, chlorine, fluorine, or bromine;

Q is alkyl of 1-6 carbon atoms or hydrogen;

a = 0 or 1;

g = 1-6;

15 k = 0-4;

n is 0-1;

p = 2-4;

q=0-4;

r = 1-4;

20 s = 1-6;

u = 0-4 and v = 0-4 , wherein the sum of u+v is 2-4;

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- 126 -

or a pharmaceutically acceptable salt thereof,
provided that

5 when R₆ is alkenyl of 2-7 carbon atoms or alkynyl of 2-7 carbon atoms, such
alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom
through a saturated carbon atom;

and further provided that

10 when Y is -NR₆- and R₇ is -NR₆R₆, -N(R₆)₃⁺, or -NR₆(OR₆), then g = 2-6;
when M is -O- and R₇ is -OR₆, then p = 1-4;
when Y is -NR₆-, then k = 2-4;
when Y is -O- and M or W is -O-, then k = 1-4
when W is not a bond with Het bonded through a nitrogen atom, then q = 2-4
and when W is a bond with Het bonded through a nitrogen atom and Y is -O-
or -NR₆-, then k = 2-4.

15 2. The compound according to claim 1 wherein Z is -NH- and n = 0 or a
pharmaceutically acceptable salt thereof.

3. The compound according to claim 2 wherein X is optionally substituted
phenyl or a pharmaceutically acceptable salt thereof.

20

4. The compound according to claim 3 wherein R₁ and R₄ are hydrogen or a
pharmaceutically acceptable salt thereof.

25

5. The compound according to claim 1, which is:

a) 1-Methyl-1,2,5,6-tetrahydro-pyridine-3-carboxylic acid [4-(3-bromo-
phenylamino)-3-cyano-quinolin-6-yl]-amide or a pharmaceutically acceptable
salt thereof;

121

- 127 -

- b) N-[4-[(3-Bromophenyl)amino]-3-cyano-6-quinolinyl]-4-(N-allyl-N-methylamino)-2-butyramide or a pharmaceutically acceptable salt thereof;
- 5 c) N-[4-[(3-Bromophenyl)amino]-3-cyano-6-quinolinyl]-4-(N-methoxyethyl-N-methylamino)-2-butyramide or a pharmaceutically acceptable salt thereof;
- d) N-[4-[(3-Bromophenyl)amino]-3-cyano-6-quinolinyl]-4-(bis-(2-methoxyethyl)amino)-2-butyramide or a pharmaceutically acceptable salt thereof;
- 10 e) 4-Methoxymethoxy-but-2-ynoic acid [4-(3-bromo-phenylamino)-3-cyano-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- f) 4-(4-Chloro-2-fluoro-phenylamino)-6-methoxy-7-(2-pyridin-4-yl-ethoxy)-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 15 g) 4-(2-Methoxy-ethoxy)-but-2-ynoic acid [4-(3-bromo-phenylamino)-3-cyano-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- 20 h) 4-((2S)-2-Methoxymethylpyrrolidin-1-yl)but-2-ynoic Acid [4-(3-bromophenylamino)-3-cyanoquinolin-6-yl]amide or a pharmaceutically acceptable salt thereof;
- i) 4-(1,4-Dioxo-8-azaspiro[4,5]dec-8-yl)but-2-ynoic Acid [4-(3-Bromophenylamino)-3-cyanoquinolin-6-yl] amide or a pharmaceutically acceptable salt thereof;
- 25 j) 4-(3-Bromo-phenylamino)-6-(2-ethoxy-3,4-dioxo-cyclobut-1-enylamino)-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
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122

00630270-080100

- 128 -

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- 5 k) 4-[(2-Methoxy-ethyl)-methyl-amino]-but-2-enoic acid [4-(3-chloro-4- fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- l) (S)-4-(2-Methoxymethyl-pyrrolidin-1-yl)-but-2-enoic acid [4-(3-chloro-4- fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide dihydrochloride or a pharmaceutically acceptable salt thereof;
- 10 m) 4-(3-Hydroxymethyl-piperidin-1-yl)-but-2-enoic acid [4-(3-chloro-4- fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- 15 n) 4-(1,4-Dioxa-8-aza-spiro[4.5]dec-8-yl)-but-2-enoic acid [4-(3- chloro-4- fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- 20 o) 4-(2-Hydroxymethyl-piperidin-1-yl)-but-2-enoic acid [4-(3-chloro-4- fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- p) 4-Bromo-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7- methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- 25 q) 4-(3-hydroxy-4-methyl-phenylamino)-6-methoxy-7-(3-pyridin-4-yl-propoxy)-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- r) 4-Diallylamino-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)- 3cyano-7-methoxy- quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
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123

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- aa) 4-[1,4']Bipiperidiny1-1'-yl-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- bb) 4-Thiazolidin-3-yl-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- cc) 3-{3-[4-(3-Chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]carbamoyl}-allyl}-4-methyl-thiazol-3-ium bromide or a pharmaceutically acceptable salt thereof;
- dd) 4-(2,6-Dimethyl-piperidin-1-yl)-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- ee) 4-[Bis-(2-hydroxy-propyl)-amino]-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- ff) 4-(3-Hydroxy-pyrrolidin-1-yl)-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;
- gg) 4-[(2-Hydroxy-ethyl)-methyl-amino]-but-2-enoic acid [4-(3-chloro-4-fluoro-phenylamino)-3-cyano-7-methoxy-quinolin-6-yl]-amide or a pharmaceutically acceptable salt thereof;

- 126

- 132 -

- pp) 4-(2-Bromo-4-chloro-phenylamino)-7-{2-[(2-hydroxy-ethyl)-methyl-amino]-ethoxy}-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 5 qq) 4-(2,4-Dichloro-5-methoxy-phenylamino)-7-{3-[(2-hydroxy-ethyl)-methyl-amino]-propoxy}-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 10 rr) 4-(2,4-Dichloro-5-methoxy-phenylamino)-6-methoxy-7-(3-thiomorpholin-4-yl-propoxy)-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 15 ss) 4-(2,4-Dichloro-5-methoxy-phenylamino)-6-methoxy-7-[3-(2-methoxy-ethylamino)-propoxy]-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 20 tt) 4-(2,4-Dichloro-5-methoxy-phenylamino)-6-methoxy-7-[3-(4-methyl-piperidin-1-yl)-propoxy]-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- uu) 4-(2,4-Dichloro-5-methoxy-phenylamino)-7-[3-(2,6-dimethyl-morpholin-4-yl)-propoxy]-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 25 vv) 4-(2-Bromo-4-chloro-phenylamino)-7-{2-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-ethoxy}-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 30 ww) 4-(2-Bromo-4-chloro-phenylamino)-7-[2-(4-hydroxy-piperidin-1-yl)-ethoxy]-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;

127

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- xx) 4-(2-Bromo-4-chloro-phenylamino)-6-methoxy-7-(2-thiomorpholin-4-yl-ethoxy)-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 5 yy) 4-(2,4-Dichloro-5-methoxy-phenylamino)-7-[3-(2,5-dimethyl-pyrrolidin-1-yl)-propoxy]-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 10 zz) 4-(2,4-Dichloro-5-methoxy-phenylamino)-7-[3-(3-hydroxy-propylamino)-propoxy]-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 15 aaa) 1-{3-[3-Cyano-4-(2,4-dichloro-5-methoxy-phenylamino)-6-methoxy-quinolin-7-yloxy]-propyl}-piperidine-4-carboxylic acid ethyl ester or a pharmaceutically acceptable salt thereof;
- 20 bbb) 7-[3-(4-acetyl-1-piperazinyl)propoxy]-4-[(2,4-dichloro-5-methoxyphenyl)amino]-6-methoxy-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 25 ccc) 4-(3-chloro-4-fluoroanilino)-7-methoxy-6-(4-morpholinyl)-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- ddd) 7-[3-(4-Benzyl-piperazin-1-yl)-propoxy]-4-(2,4-dichloro-5-methoxy-phenylamino)-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 30 eee) 4-(2,4-Dichloro-5-methoxy-phenylamino)-7-[3-(2-hydroxy-ethylamino)-propoxy]-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;

- 134 -

- fff) 4-(2,4-Dichloro-5-methoxy-phenylamino)-7-{3-[ethyl-(2-hydroxy-ethyl)-amino]-propoxy}-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 5 ggg) 7-{3-[Bis-(2-methoxy-ethyl)-amino]-propoxy}-4-(2,4-dichloro-5-methoxy-phenylamino)-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 10 hhh) 7-{3-[Bis-(2-hydroxy-ethyl)-amino]-propoxy}-4-(2,4-dichloro-5-methoxy-phenylamino)-6-methoxy-quinoline-3-carbonitrile or a pharmaceutically acceptable salt thereof;
- 15 iii) 4-(3-chloro-4-fluoroanilino)-7-(4-morpholinyl)-6-nitro-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 20 jjj) N-[4-(3-chloro-4-fluoroanilino)-3-cyano-7-(4-morpholinyl)-6-quinolinyl]-2-butyramide or a pharmaceutically acceptable salt thereof;
- 25 kkk) 6-amino-4-(3-chloro-4-fluoroanilino)-7-(4-morpholinyl)-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- lll) 4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-(3-{[2-(4--morpholinyl)ethyl]amino}propoxy)-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- mmm) 7-{3-[(2-anilinoethyl)amino]propoxy}-4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 30 nnn) N-[4-(3-chloro-4-fluoroanilino)-3-cyano-7-(4-morpholinyl)-6-quinolinyl]acrylamide or a pharmaceutically acceptable salt thereof;

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129

- 130

- 136 -

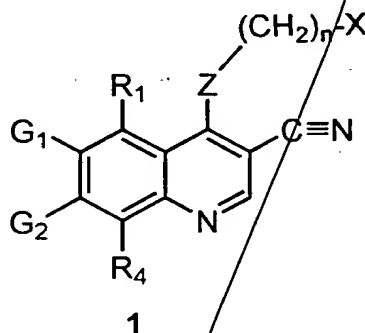
- www) 4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-{{2-(2H-1,2,3-triazol-2-yl)ethyl}amino}-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 5 xxx) 4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-{{2-(1H-1,2,3-triazol-1-yl)ethyl}amino}-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 10 yyy) 4-(2,4-dichloro-5-methoxyanilino)-7-(3-thienyl)-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 15 zzz) 4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-[3-(1H-1,2,4-triazol-1-yl)propoxy]-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 20 aaaa) 4-(2,4-dichloro-5-methoxyanilino)-7-[3-(1H-imidazol-1-yl)propoxy]-6-methoxy-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 25 bbbb) 4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-[3-(1H-pyrazol-1-yl)propoxy]-3-quinolinecarbonitrile or a pharmaceutically acceptable salt thereof;
- 30 cccc) N-[3-cyano-4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-quinolinyl]-N-[4-(4-ethyl-1-piperazinyl)butyl]acetamide or a pharmaceutically acceptable salt thereof;
- dddd) N-[3-cyano-4-(2,4-dichloro-5-methoxyanilino)-6-methoxy-7-quinolinyl]-N-(3-(4-ethyl-1-piperazinyl)propyl)acetamide or a pharmaceutically acceptable salt thereof;

131

- 132

- 138 -

6. A method of treating, inhibiting the growth of, or eradicating a neoplasm in a mammal in need thereof which comprises administering to said mammal an effective amount of a compound of formula 1 having the structure



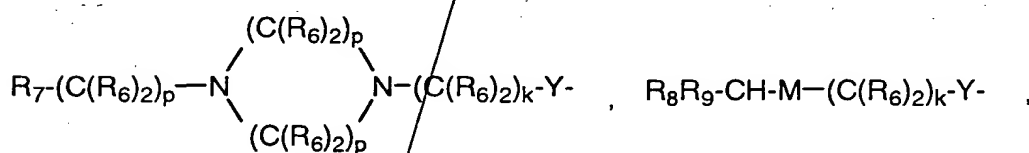
wherein:

X is cycloalkyl of 3 to 7 carbon atoms, which may be optionally substituted with one or more alkyl of 1 to 6 carbon atom groups; or is a pyridinyl, pyrimidinyl, or phenyl ring wherein the pyridinyl, pyrimidinyl, or phenyl ring may be optionally mono-, di-, or tri-substituted with a substituent selected from the group consisting of halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, azido, hydroxyalkyl of 1-6 carbon atoms, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms, hydroxy, trifluoromethyl, cyano, nitro, carboxy, carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzoyl, benzyl, amino, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12 carbon atoms, phenylamino, benzylamino, alkanoylamino of 1-6 carbon atoms, alkenoylamino of 3-8 carbon atoms, alkynoylamino of 3-8 carbon atoms, carboxyalkyl of 2-7 carbon atoms, carboalkoxyalkyl of 3-8 carbon atoms, aminoalkyl of 1-5 carbon atoms, N-alkylaminoalkyl of 2-9 carbon atoms, N,N-dialkylaminoalkyl of 3-10 carbon atoms, N-alkylaminoalkoxy of 2-9 carbon atoms, N,N-dialkylaminoalkoxy of 3-10 carbon atoms, mercapto, and benzoylamino;

Z is -NH-, -O-, -S-, or -NR- ;

R is alkyl of 1-6 carbon atoms, or carboalkyl of 2-7 carbon atoms;

G₁, G₂, R₁, and R₄ are each, independently, hydrogen, halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, alkenyloxy of 2-6 carbon atoms, alkynyloxy of 2-6 carbon atoms, hydroxymethyl, halomethyl, alkanoyloxy of 1-6 carbon atoms, alkenoyloxy of 3-8 carbon atoms, alkynoyloxy of 3-8 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkenoyloxymethyl of 4-9 carbon atoms, alkynoyloxymethyl of 4-9 carbon atoms, alkoxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms, alkylsulphanyl of 1-6 carbon atoms, alkylsulphonyl of 1-6 carbon atoms, alkylsulfonamido of 1-6 carbon atoms, alkenylsulfonamido of 2-6 carbon atoms, alkynylsulfonamido of 2-6 carbon atoms, hydroxy, trifluoromethyl, trifluoromethoxy, cyano, nitro, carboxy, carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzyl, amino, hydroxyamino, alkoxyamino of 1-4 carbon atoms, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12 carbon atoms, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, phenylamino, benzylamino,

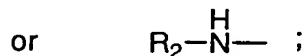
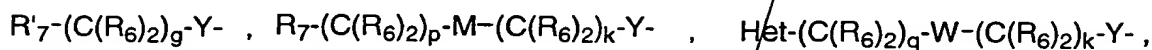
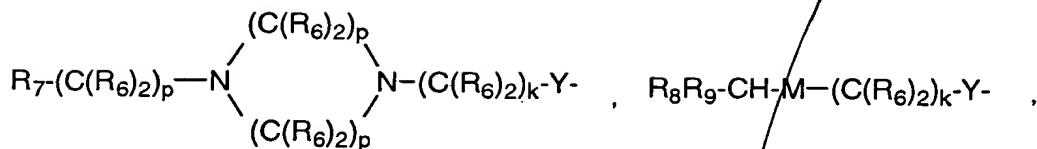


$R_7-(C(R_6)_2)_g-Y-$, $R_7-(C(R_6)_2)_p-M-(C(R_6)_2)_k-Y-$, or $Het-(C(R_6)_2)_q-W-(C(R_6)_2)_k-Y-$

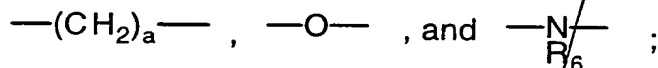
with the proviso that either G₁ or G₂ or both G₁ and G₂ must be a radical selected from the group

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- 140 -



Y is a divalent radical selected from the group consisting of



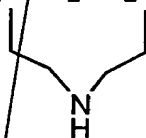
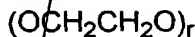
R₇ is -NR₆R₆, -J, -OR₆, -N(R₆)₃⁺, or -NR₆(OR₆);

R'₇ is -NR₆(OR₆), -N(R₆)₃⁺, alkenoxy of 1-6 carbon atoms, alkynoxy of 1-6 carbon atoms, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, N-alkyl-N-alkynylamino of 4 to 12 carbon atoms, N-alkenyl-N-alkynylamino of 4 to 12 carbon atoms, or N,N-dialkynylamino of 6-12 carbon atoms with the proviso that the alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom through a saturated carbon atom;

M is >NR₆, -O-, >N-(C(R₆)₂)_pNR₆R₆, or >N-(C(R₆)₂)_p-OR₆;

W is >NR₆, -O- or is a bond;

Het is a heterocycle selected from the group consisting of morpholine, thiomorpholine, thiomorpholine S-oxide, thiomorpholine S,S-dioxide, piperidine, pyrrolidine, aziridine, pyridine, imidazole, 1,2,3-triazole, 1,2,4-triazole, thiazole, thiazolidine, tetrazole, piperazine, furan, thiophene, tetrahydrothiophene, tetrahydrofuran, dioxane, 1,3-dioxolane,



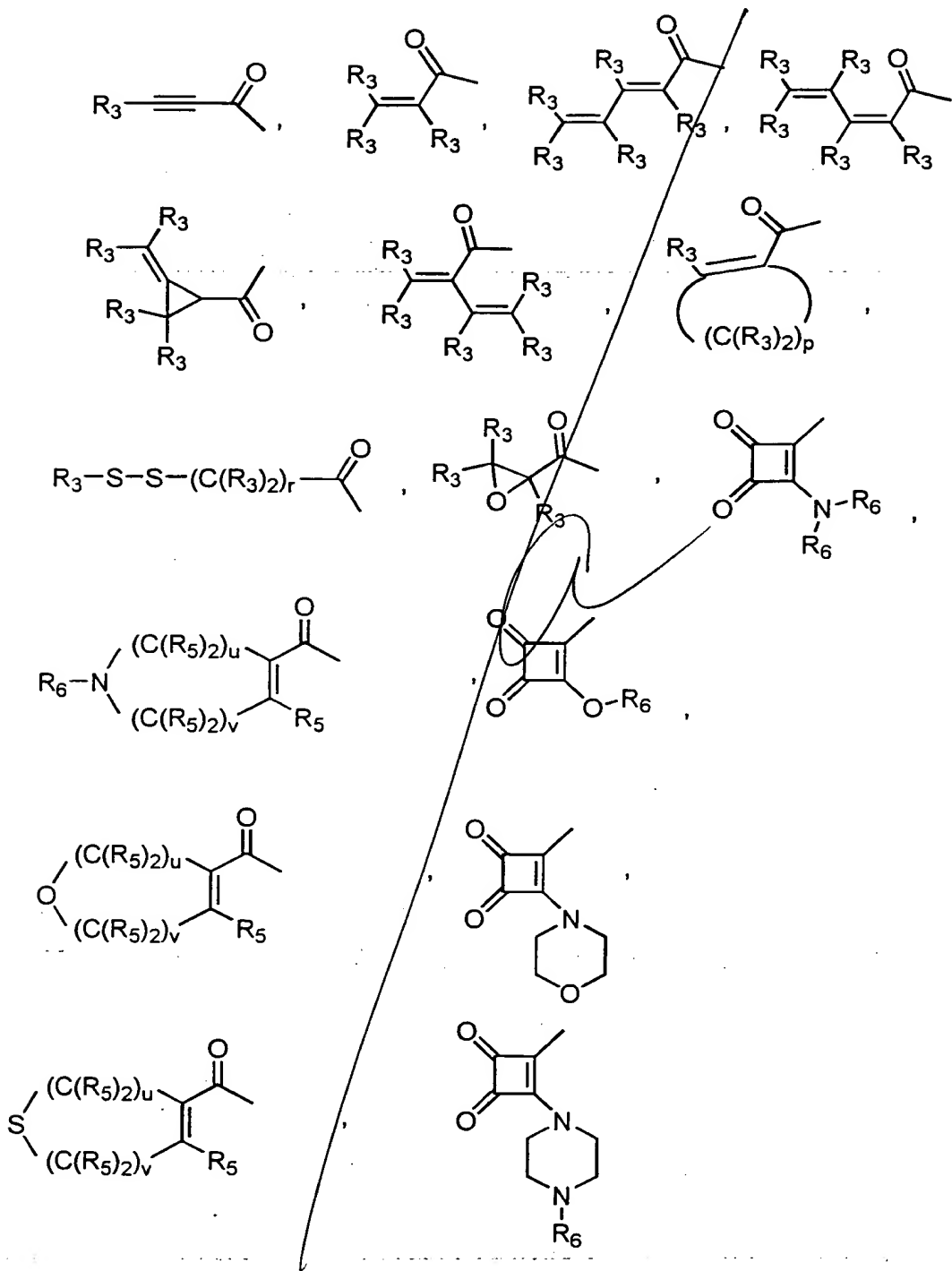
tetrahydropyran, and

- 141 -

wherein the heterocycle is optionally mono- or di-substituted on carbon or nitrogen with R_6 , optionally mono- or di-substituted on carbon with hydroxy, $-N(R_6)_2$, or $-OR_6$, optionally mono or di-substituted on carbon with the mono-valent radicals $-(C(R_6)_2)_sOR_6$ or $-(C(R_6)_2)_sN(R_6)_2$, or optionally mono or di-substituted on a saturated carbon with divalent radicals $-O-$ or $-O(C(R_6)_2)_sO-$;

R_6 is hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, cycloalkyl of 1-6 carbon atoms, carboalkyl of 2-7 carbon atoms, carboxyalkyl (2-7 carbon atoms), phenyl, or phenyl optionally substituted with one or more halogen, alkoxy of 1-6 carbon atoms, trifluoromethyl, amino, alkylamino of 1-3 carbon atoms, dialkylamino of 2-6 carbon atoms, nitro, cyano, azido, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkylthio of 1-6 carbon atoms, hydroxy, carboxyl, carboalkoxy of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzoyl, benzyl, phenylamino, benzylamino, alkanoylamino of 1-6 carbon atoms, or alkyl of 1-6 carbon atoms;

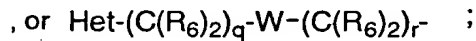
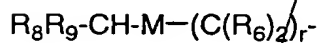
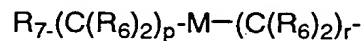
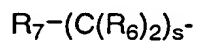
R_2 , is selected from the group consisting of



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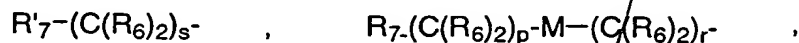
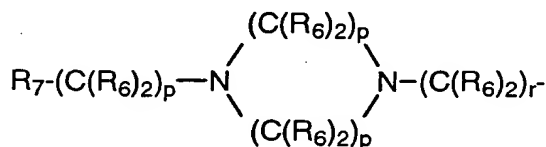
$$\begin{array}{ccc}
 \begin{array}{c} \text{R}_5 \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{R}_5 \end{array} \text{SO}_2 & \begin{array}{c} \text{J}-(\text{CH}_2)_s \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{J}-(\text{CH}_2)_s \end{array} \begin{array}{c} (\text{CH}_2)_s-\text{J} \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{O} \end{array} & \begin{array}{c} \text{J}-(\text{CH}_2)_s \\ \diagup \\ \text{C} \equiv \text{C} \\ \diagdown \\ \text{O} \end{array} \\
 \\
 \begin{array}{c} \text{Q} \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{R}_5 \end{array} \text{CH}_2 & \begin{array}{c} \text{R}_5 \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{Q} \end{array} \text{CH}_2 & \begin{array}{c} \text{R}_5 \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{R}_5 \end{array} \text{CH}_2 \\
 \\
 \begin{array}{c} \text{QO}_2\text{C} \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{R}_5 \end{array} \text{CH}_2 & \begin{array}{c} \text{R}_5 \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{QO}_2\text{C} \end{array} \text{CH}_2 & \begin{array}{c} \text{R}_5 \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{R}_5 \end{array} \text{CH}_2 \\
 \text{and} & &
 \end{array}$$

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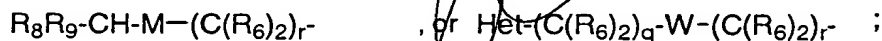
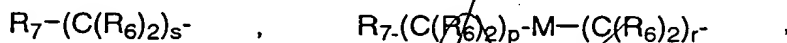
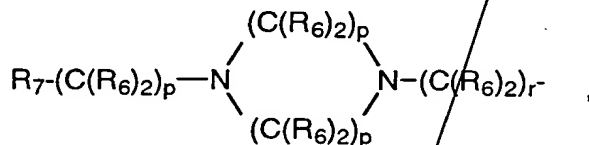
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- 144 -



R₅ is independently hydrogen, alkyl of 1-6 carbon atoms, carboxy, carboalkoxy of 1-6 carbon atoms, phenyl, carboalkyl of 2-7 carbon atoms,

5



R₈, and R₉ are each, independently, -(C(R₆)₂)_rNR₆R₆, or -(C(R₆)₂)_rOR₆;

10

J is independently hydrogen, chlorine, fluorine, or bromine;

Q is alkyl of 1-6 carbon atoms or hydrogen;

a = 0 or 1;

g = 1-6;

15 k = 0-4;

n is 0-1;

p = 2-4;

q=0-4;

r = 1-4;

20 s = 1-6;

u = 0-4 and v = 0-4, wherein the sum of u+v is 2-4;

001080 0/20E960

- 145 -

or a pharmaceutically acceptable salt thereof,
provided that

when R_6 is alkenyl of 2-7 carbon atoms or alkynyl of 2-7 carbon atoms, such
alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom
through a saturated carbon atom;

and further provided that

when Y is $-NR_6-$ and R_7 is $-NR_6R_6$, $-N(R_6)_3^+$, or $-NR_6(OR_6)$, then $g = 2-6$;

when M is $-O-$ and R_7 is $-OR_6$, then $p = 1-4$;

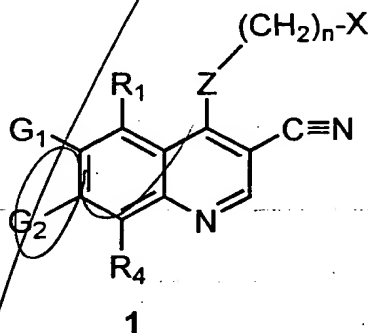
when Y is $-NR_6-$, then $k = 2-4$;

when Y is $-O-$ and M or W is $-O-$, then $k = 1-4$

when W is not a bond with Het bonded through a nitrogen atom, then $q = 2-4$
and when W is a bond with Het bonded through a nitrogen atom and Y is $-O-$ or $-NR_6-$, then $k = 2-4$.

7. The method according to claim 6 wherein the neoplasm is selected from the group consisting of breast, kidney, bladder, mouth, larynx, esophagus, stomach, colon, ovary, and lung.

8. A method of treating, inhibiting the progression of, or eradicating polycystic kidney disease in a mammal in need thereof which comprises administering to said mammal an effective amount of a compound of formula 1 having the structure



25 wherein:

133

5 X is cycloalkyl of 3 to 7 carbon atoms, which may be optionally substituted with one or more alkyl of 1 to 6 carbon atom groups; or is a pyridinyl, pyrimidinyl, or phenyl ring wherein the pyridinyl, pyrimidinyl, or phenyl ring may be optionally mono- di-, or tri-substituted with a substituent selected from the group consisting of halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, azido, hydroxyalkyl of 1-6 carbon atoms, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms, hydroxy, trifluoromethyl, cyano, nitro, carboxy, carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzoyl, benzyl, amino, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12 carbon atoms, phenylamino, benzylamino, alkanoylamino of 1-6 carbon atoms, alkenoylamino of 3-8 carbon atoms, alkynoylamino of 3-8 carbon atoms, carboxyalkyl of 2-7 carbon atoms, carboalkoxyalkyl of 3-8 carbon atoms, aminoalkyl of 1-5 carbon atoms, N-alkylaminoalkyl of 2-9 carbon atoms, N,N-dialkylaminoalkyl of 3-10 carbon atoms, N-alkylaminoalkoxy of 2-9 carbon atoms, N,N-dialkylaminoalkoxy of 3-10 carbon atoms, mercapto, and benzoylamino;

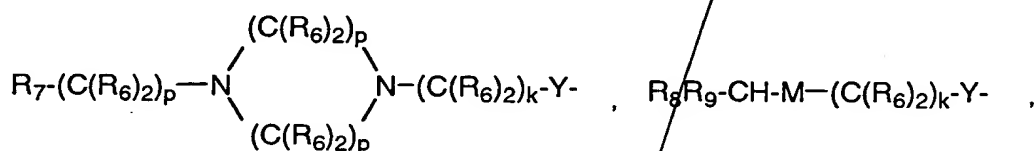
10 Z is -NH-, -O-, -S-, or -NR- ;

15 R is alkyl of 1-6 carbon atoms, or carboalkyl of 2-7 carbon atoms;

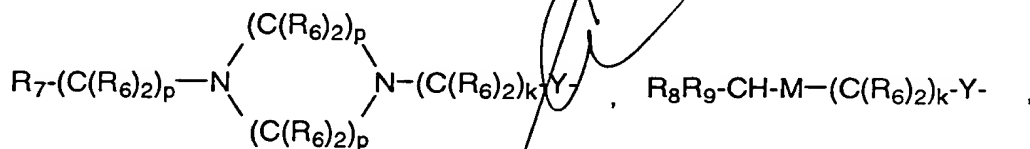
20 G₁, G₂, R₁, and R₄ are each, independently, hydrogen, halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, alkenyloxy of 2-6 carbon atoms, alkynyloxy of 2-6 carbon atoms, hydroxymethyl, halomethyl, alkanoyloxy of 1-6 carbon atoms, alkenoyloxy of 3-8 carbon atoms, alkynoyloxy of 3-8 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkenoyloxymethyl of 4-9 carbon atoms, alkynoyloxymethyl of 4-9 carbon atoms, alkoxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms, alkylsulphinyl of 1-6 carbon atoms, alkylsulphonyl of 1-6 carbon atoms, alkylsulfonamido of 1-6 carbon atoms, alkenylsulfonamido of 2-6 carbon atoms, alkynylsulfonamido of 2-6 carbon atoms, hydroxy, trifluoromethyl, trifluoromethoxy, cyano, nitro, carboxy,

- 147 -

carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzyl, amino, hydroxyamino, alkoxyamino of 1-4 carbon atoms, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12 carbon atoms, N-alkylcarbamoyle, N,N-dialkylcarbamoyle, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, phenylamino, benzylamino,

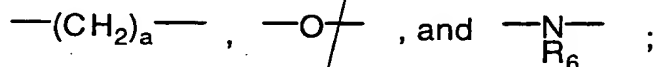


$R_7-(C(R_6)_2)_g-Y-$, $R_7-(C(R_6)_2)_p-M-(C(R_6)_2)_k-Y-$, or $Het-(C(R_6)_2)_q-W-(C(R_6)_2)_k-Y-$ with the proviso that either G_1 or G_2 or both G_1 and G_2 must be a radical selected from the group



$R_7-(C(R_6)_2)_g-Y-$, $R_7-(C(R_6)_2)_p-M-(C(R_6)_2)_k-Y-$, $Het-(C(R_6)_2)_q-W-(C(R_6)_2)_k-Y-$, or $R_2-\overset{H}{N}-$;

Y is a divalent radical selected from the group consisting of



R_7 is $-NR_6R_6$, $-J$, $-OR_6$, $-N(R_6)_3^+$, or $-NR_6(OR_6)$;

R'_7 is $-NR_6(OR_6)$, $-N(R_6)_3^+$, alkenoxy of 1-6 carbon atoms, alkynoxy of 1-6 carbon atoms, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, N-alkyl-N-alkynylamino of 4 to 12 carbon atoms, N-alkenyl-N-

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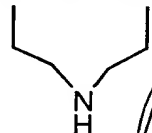
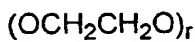
- 148 -

alkynylamino of 4 to 12 carbon atoms, or N,N-dialkynylamino of 6-12 carbon atoms with the proviso that the alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom through a saturated carbon atom;

M is $>\text{NR}_6$, $-\text{O}-$, $>\text{N}-(\text{C}(\text{R}_6)_2)_p\text{NR}_6\text{R}_6$, or $>\text{N}-(\text{C}(\text{R}_6)_2)_p-\text{OR}_6$;

5 W is $>\text{NR}_6$, $-\text{O}-$ or is a bond;

Het is a heterocycle selected from the group consisting of morpholine, thiomorpholine, thiomorpholine S-oxide, thiomorpholine S,S-dioxide, piperidine, pyrrolidine, aziridine, pyridine, imidazole, 1,2,3-triazole, 1,2,4-triazole, thiazole, thiazolidine, tetrazole, piperazine, furan, thiophene, tetrahydrothiophene, tetrahydrofuran, dioxane, 1,3-dioxolane ,



tetrahydropyran, and

wherein the heterocycle is optionally mono- or di-substituted on carbon or nitrogen with R_6 , optionally mono- or di-substituted on carbon with hydroxy, $-\text{N}(\text{R}_6)_2$, or $-\text{OR}_6$, optionally mono or di-substituted on carbon with the mono-valent radicals $-(\text{C}(\text{R}_6)_2)_s\text{OR}_6$ or $-(\text{C}(\text{R}_6)_2)_s\text{N}(\text{R}_6)_2$, or optionally mono or di-substituted on a saturated carbon with divalent radicals $-\text{O}-$ or $-\text{O}(\text{C}(\text{R}_6)_2)_s\text{O}-$;

R_6 is hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, cycloalkyl of 1-6 carbon atoms, carboalkyl of 2-7 carbon atoms, carboxyalkyl (2-7 carbon atoms), phenyl, or phenyl optionally substituted with one or more halogen, alkoxy of 1-6 carbon atoms, trifluoromethyl, amino, alkylamino of 1-3 carbon atoms, dialkylamino of 2-6 carbon atoms, nitro, cyano, azido, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkylthio of 1-6 carbon atoms, hydroxy, carboxyl, carboalkoxy of 2-7 carbon atoms, phenoxy, phenyl,

- 149 -

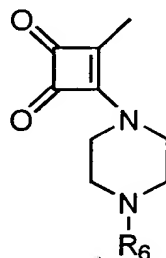
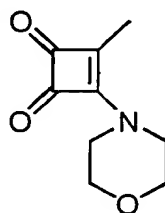
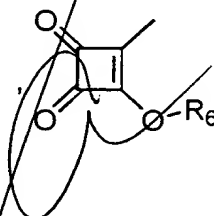
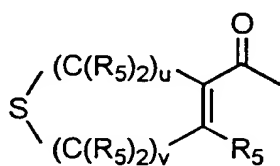
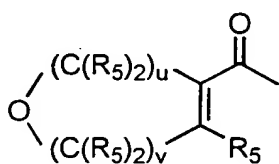
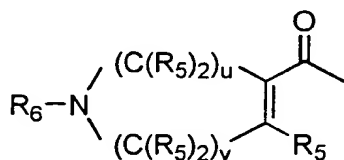
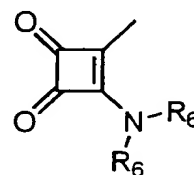
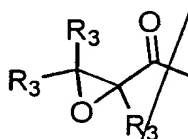
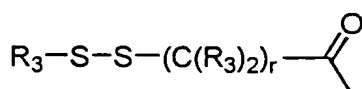
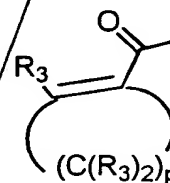
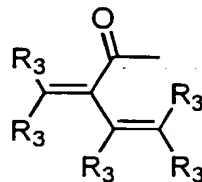
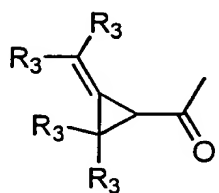
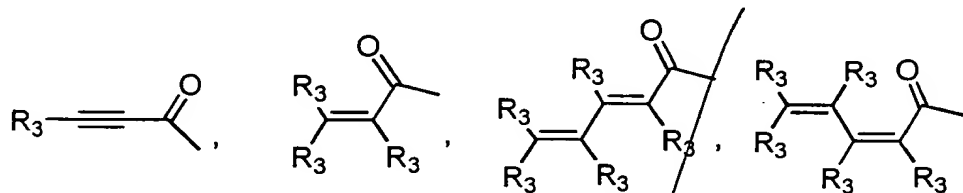
thiophenoxy, benzoyl, benzyl, phenylamino, benzylamino, alkanoylamino of
1-6 carbon atoms, or alkyl of 1-6 carbon atoms;

R₂, is selected from the group consisting of

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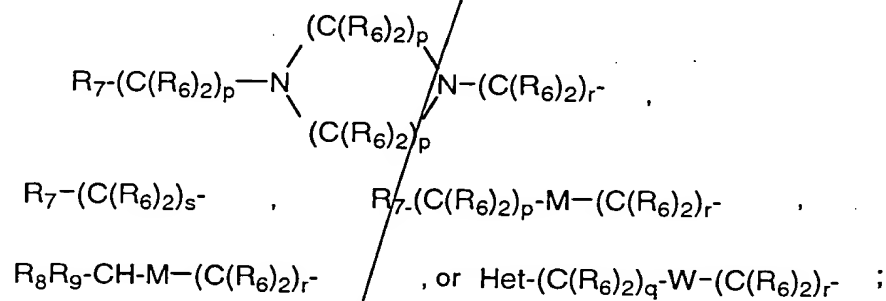
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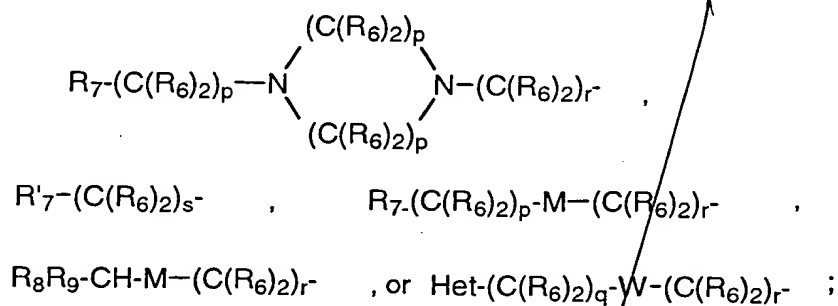


-6 carbon atoms, ca
7 carbon atoms,



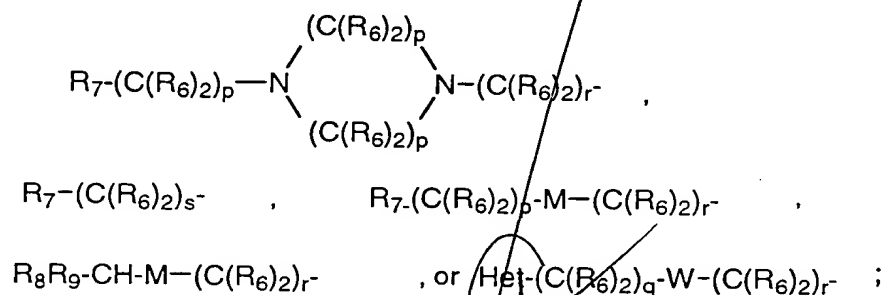
with the proviso that at least one of the R₃ groups is selected from the group

- 152 -



R₅ is independently hydrogen, alkyl of 1-6 carbon atoms, carboxy, carboalkoxy of 1-6 carbon atoms, phenyl, carboalkyl of 2-7 carbon atoms,

5



R₈, and R₉ are each, independently, -(C(R₆)₂)_rNR₆R₆, or -(C(R₆)₂)_rOR₆;

10

J is independently hydrogen, chlorine, fluorine, or bromine;

Q is alkyl of 1-6 carbon atoms or hydrogen;

a = 0 or 1;

g = 1-6;

15 k = 0-4;

n is 0-1;

p = 2-4;

q=0-4;

r = 1-4;

20 s = 1-6;

u = 0-4 and v = 0-4 , wherein the sum of u+v is 2-4;

001080" 0/20E960

- 153 -

or a pharmaceutically acceptable salt thereof,
provided that

when R_6 is alkenyl of 2-7 carbon atoms or alkynyl of 2-7 carbon atoms, such
alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom
5 through a saturated carbon atom;

and further provided that

when Y is $-NR_6-$ and R_7 is $-NR_6R_6$, $-N(R_6)_3^+$, or $-NR_6(OR_6)$, then $g = 2-6$;

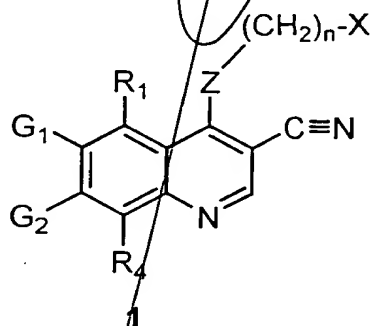
when M is $-O-$ and R_7 is $-OR_6$, then $p = 1-4$;

when Y is $-NR_6-$, then $k = 2-4$;

10 when Y is $-O-$ and M or W is $-O-$, then $k = 1-4$

when W is not a bond with Het bonded through a nitrogen atom, then $q = 2-4$
and when W is a bond with Het bonded through a nitrogen atom and Y is $-O-$ or
 $-NR_6-$, then $k = 2-4$.

9. A pharmaceutical composition which comprises a compound of formula 1
15 having the structure



wherein:

20 X is cycloalkyl of 3 to 7 carbon atoms, which may be optionally substituted with one
or more alkyl of 1 to 6 carbon atom groups; or is a pyridinyl, pyrimidinyl, or
phenyl ring wherein the pyridinyl, pyrimidinyl, or phenyl ring may be
optionally mono-, di-, or tri-substituted with a substituent selected from the
group consisting of halogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon
25 atoms, alkynyl of 2-6 carbon atoms, azido, hydroxyalkyl of 1-6 carbon atoms,

halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7
carbon atoms, alkoxy of 1-6 carbon atoms, alkylthio of 1-6 carbon atoms,
hydroxy, trifluoromethyl, cyano, nitro, carboxy, carboalkoxy of 2-7 carbon
atoms, carboalkyl of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy,
5 benzoyl, benzyl, amino, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to
12 carbon atoms, phenylamino, benzylamino, alkanoylamino of 1-6 carbon
atoms, alkenoylamino of 3-8 carbon atoms, alkynoylamino of 3-8 carbon
atoms, carboxyalkyl of 2-7 carbon atoms, carboalkoxyalkyl of 3-8 carbon
atoms, aminoalkyl of 1-5 carbon atoms, N-alkylaminoalkyl of 2-9 carbon
10 atoms, N,N-dialkylaminoalkyl of 3-10 carbon atoms, N-alkylaminoalkoxy of
2-9 carbon atoms, N,N-dialkylaminoalkoxy of 3-10 carbon atoms, mercapto,
and benzoylamino;

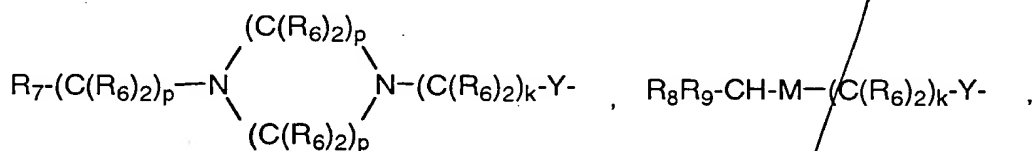
Z is -NH-, -O-, -S-, or -NR- ;

R is alkyl of 1-6 carbon atoms, or carboalkyl of 2-7 carbon atoms;

15 G₁, G₂, R₁, and R₄ are each, independently, hydrogen, halogen, alkyl of 1-6 carbon
atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, alkenyloxy
of 2-6 carbon atoms, alkynyloxy of 2-6 carbon atoms, hydroxymethyl,
halomethyl, alkanoyloxy of 1-6 carbon atoms, alkenoyloxy of 3-8 carbon
atoms, alkynoyloxy of 3-8 carbon atoms, alkanoyloxymethyl of 2-7 carbon
20 atoms, alkenoyloxymethyl of 4-9 carbon atoms, alkynoyloxymethyl of 4-9
carbon atoms, alkoxymethyl of 2-7 carbon atoms, alkoxy of 1-6 carbon atoms,
alkylthio of 1-6 carbon atoms, alkylsulphinyl of 1-6 carbon atoms,
alkylsulphonyl of 1-6 carbon atoms, alkylsulfonamido of 1-6 carbon atoms,
alkenylsulfonamido of 2-6 carbon atoms, alkynylsulfonamido of 2-6 carbon
25 atoms, hydroxy, trifluoromethyl, trifluoromethoxy, cyano, nitro, carboxy,
carboalkoxy of 2-7 carbon atoms, carboalkyl of 2-7 carbon atoms, phenoxy,
phenyl, thiophenoxy, benzyl, amino, hydroxyamino, alkoxyamino of 1-4
carbon atoms, alkylamino of 1-6 carbon atoms, dialkylamino of 2 to 12
carbon atoms, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, N-alkyl-N-
30 alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon
atoms, phenylamino, benzylamino,

007080" 0/20E960

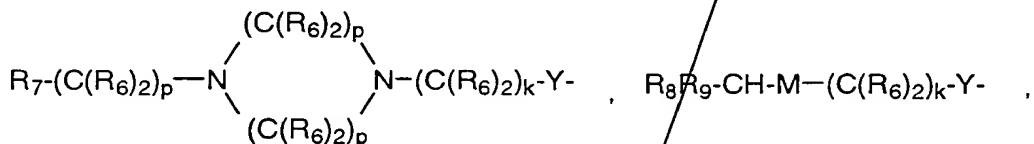
- 155 -



$R_7-(C(R_6)_2)_g-Y-$, $R_7-(C(R_6)_2)_p-M-(C(R_6)_2)_k-Y-$, or $Het-(C(R_6)_2)_q-W-(C(R_6)_2)_k-Y-$

with the proviso that either G_1 or G_2 or both G_1 and G_2 must be a radical selected from the group

5

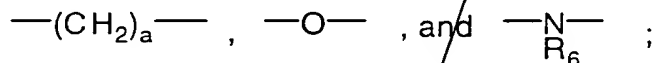


$R_7-(C(R_6)_2)_g-Y-$, $R_7-(C(R_6)_2)_p-M-(C(R_6)_2)_k-Y-$, $Het-(C(R_6)_2)_q-W-(C(R_6)_2)_k-Y-$,

or $R_2-\overset{H}{N}-$;

Y is a divalent radical selected from the group consisting of

10



R_7 is $-NR_6R_6$, $-J$, $-OR_6$, $-N(R_6)_3^+$, or $-NR_6(OR_6)$;

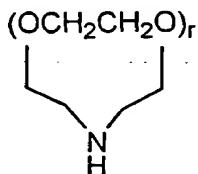
R'_7 is $-NR_6(OR_6)$, $-N(R_6)_3^+$, alkenoxy of 1-6 carbon atoms, alkynoxy of 1-6 carbon atoms, N-alkyl-N-alkenylamino of 4 to 12 carbon atoms, N,N-dialkenylamino of 6-12 carbon atoms, N-alkyl-N-alkynylamino of 4 to 12 carbon atoms, N-alkenyl-N-alkynylamino of 4 to 12 carbon atoms, or N,N-dialkynylamino of 6-12 carbon atoms with the proviso that the alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom through a saturated carbon atom;

M is $>NR_6$, $-O-$, $>N-(C(R_6)_2)_pNR_6R_6$, or $>N-(C(R_6)_2)_p-OR_6$;

20 W is $>NR_6$, $-O-$ or is a bond;

- 156 -

Het is a heterocycle selected from the group consisting of morpholine, thiomorpholine, thiomorpholine S-oxide, thiomorpholine S,S-dioxide, piperidine, pyrrolidine, aziridine, pyridine, imidazole, 1,2,3-triazole, 1,2,4-triazole, thiazole, thiazolidine, tetrazole, piperazine, furan, thiophene, tetrahydrothiophene, tetrahydrofuran, dioxane, 1,3-dioxolane ,



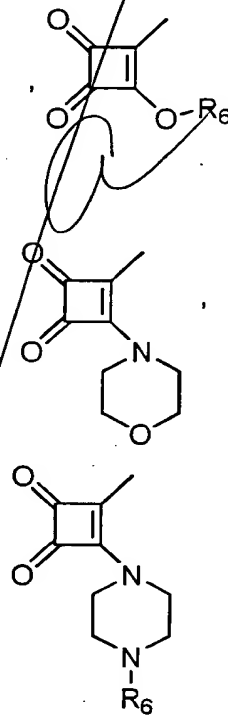
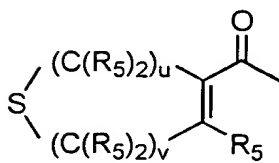
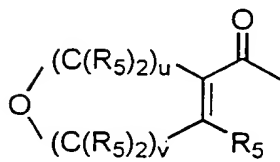
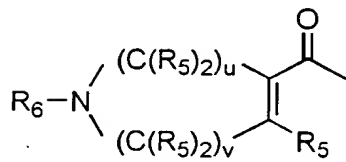
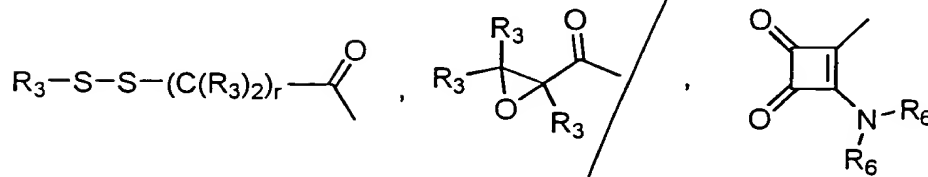
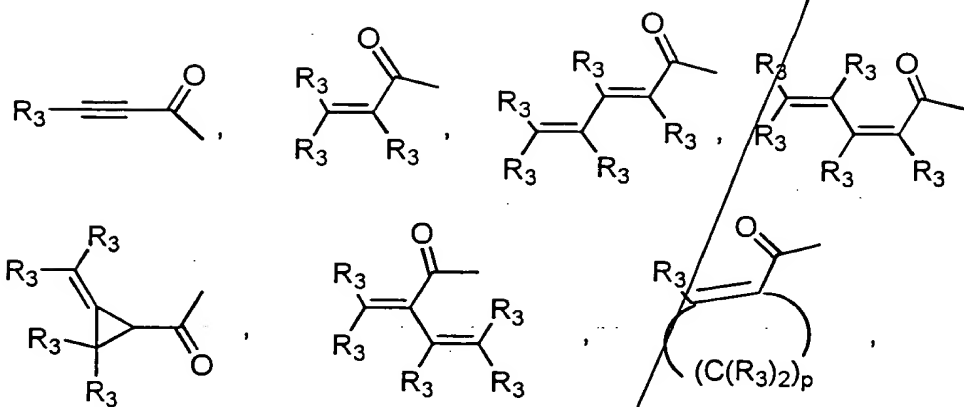
tetrahydropyran, and

wherein the heterocycle is optionally mono- or di-substituted on carbon or nitrogen with R_6 , optionally mono- or di-substituted on carbon with hydroxy, $-\text{N}(\text{R}_6)_2$, or $-\text{OR}_6$, optionally mono or di-substituted on carbon with the mono-valent radicals $-(\text{C}(\text{R}_6)_2)_s\text{OR}_6$ or $-(\text{C}(\text{R}_6)_2)_s\text{N}(\text{R}_6)_2$, or optionally mono or di-substituted on a saturated carbon with divalent radicals $-\text{O}-$ or $-\text{O}(\text{C}(\text{R}_6)_2)_s\text{O}-$;

R_6 is hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, cycloalkyl of 1-6 carbon atoms, carboalkyl of 2-7 carbon atoms, carboxyalkyl (2-7 carbon atoms), phenyl, or phenyl optionally substituted with one or more halogen, alkoxy of 1-6 carbon atoms, trifluoromethyl, amino, alkylamino of 1-3 carbon atoms, dialkylamino of 2-6 carbon atoms, nitro, cyano, azido, halomethyl, alkoxymethyl of 2-7 carbon atoms, alkanoyloxymethyl of 2-7 carbon atoms, alkylthio of 1-6 carbon atoms, hydroxy, carboxyl, carboalkoxy of 2-7 carbon atoms, phenoxy, phenyl, thiophenoxy, benzoyl, benzyl, phenylamino, benzylamino, alkanoylamino of 1-6 carbon atoms, or alkyl of 1-6 carbon atoms;

R_2 is selected from the group consisting of

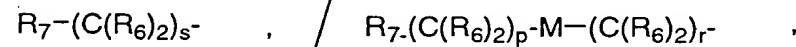
- 157 -



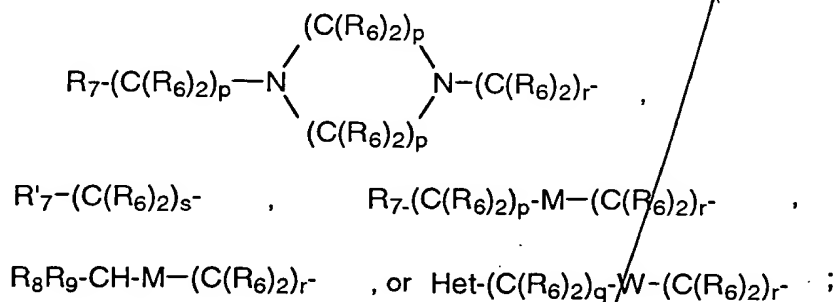
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$$\begin{array}{ccc}
 \begin{array}{c} \text{R}_5 \\ \diagup \\ \text{C}=\text{C} \\ \diagdown \\ \text{R}_5 \end{array} \begin{array}{c} \text{SO}_2 \\ | \\ \text{CH}_3 \end{array} & \begin{array}{c} \text{J}-(\text{CH}_2)_s \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{O} \quad \text{CH}_3 \end{array} \begin{array}{c} (\text{CH}_2)_s-\text{J} \end{array} & \begin{array}{c} \text{J}-(\text{CH}_2)_s \\ | \\ \text{C} \equiv \text{C} \\ | \\ \text{O} \end{array} \\
 & & \\
 \begin{array}{c} \text{Q} \\ | \\ \text{C}=\text{O} \end{array} \begin{array}{c} \text{CH}_2 \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{R}_5 \quad \text{R}_5 \end{array} & \begin{array}{c} \text{R}_5 \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{Q} \quad \text{CH}_2 \end{array} & \begin{array}{c} \text{R}_5 \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{R}_5 \quad \text{C}=\text{O} \end{array} \begin{array}{c} \text{CH}_2 \\ | \\ \text{C}=\text{O} \end{array} \begin{array}{c} \text{Q} \end{array} \\
 & & \\
 \begin{array}{c} \text{QO}_2\text{C} \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{R}_5 \quad \text{CH}_2 \end{array} & \begin{array}{c} \text{R}_5 \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{QO}_2\text{C} \quad \text{CH}_2 \end{array} & \begin{array}{c} \text{R}_5 \\ | \\ \text{C}=\text{C} \\ | \quad \diagdown \\ \text{R}_5 \quad \text{CO}_2\text{Q} \end{array} \begin{array}{c} \text{CH}_2 \\ | \\ \text{C}=\text{O} \end{array}
 \end{array}$$

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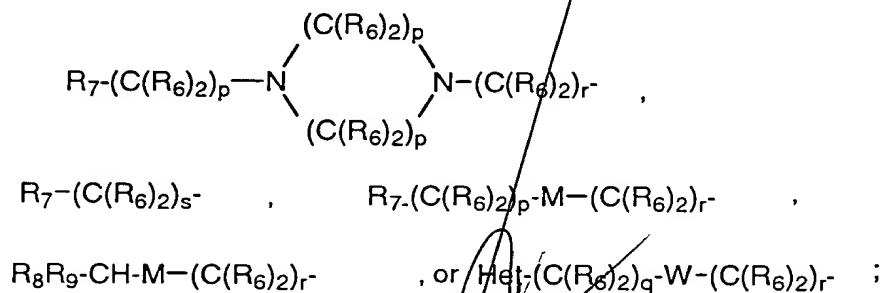


10



R5 is independently hydrogen, alkyl of 1-6 carbon atoms, carboxy, carboalkoxy of 1-6 carbon atoms, phenyl, carboalkyl of 2-7 carbon atoms,

5



R₈, and R₉ are each, independently, -(C(R₆)₂)_rNR₆R₆, or -(C(R₆)₂)_rOR₆;

10

J is independently hydrogen, chlorine, fluorine, or bromine;

Q is alkyl of 1-6 carbon atoms or hydrogen;

$a = 0$ or 1 ;

g = 1-6;

15 k = 0-4;

n is 0-1;

p = 2-4;

 $q=0.4;$
$$r = 1-4;$$

20 s = 1-6;

$u = 0-4$ and $v = 0-4$, wherein the sum of $u+v$ is $2-4$;

- 160 -

or a pharmaceutically acceptable salt thereof,
provided that

5 when R₆ is alkenyl of 2-7 carbon atoms or alkynyl of 2-7 carbon atoms, such
alkenyl or alkynyl moiety is bound to a nitrogen or oxygen atom
through a saturated carbon atom;

and further provided that

when Y is -NR₆- and R₇ is -NR₆R₆, -N(R₆)₃⁺, or -NR₆(OR₆), then g = 2-6;

when M is -O- and R₇ is -OR₆, then p = 1-4;

when Y is -NR₆-, then k = 2-4;

10 when Y is -O- and M or W is -O-, then k = 1-4

when W is not a bond with Het bonded through a nitrogen atom, then q = 2-4
and when W is a bond with Het bonded through a nitrogen atom and Y is -O- or -
NR₆-, then k = 2-4.

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